IFW

Docket No.: 5000-0184PUS1
(PATENT)

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Patent Application of:
Jordi TORMO I BLASCO et al.

Application No.: 10/585,981 Confirmation No.: N/A

Filed: July 13, 2006 Art Unit: N/A

For: FUNGICIDAL MIXTURES Examiner: Not Yet Assigned

LETTER

Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

Sir:

Subsequent to the filing of the above-identified application on July 13, 2006, attached hereto is an English translation of the International Preliminary Examination Report (Form PCT/IPEA/409) that should be made of record in the present application.

If necessary, the Commissioner is hereby authorized in this, concurrent, and future replies, to charge payment or to credit any overpayment to Deposit Account No. 02-2448 for any additional fees required under 37 C.F.R. § 1.16 or under 37 C.F.R. § 1.17; particularly, extension of time fees.

Dated: November 28, 2006

Respectfully submitted,

By _____
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ADM/tmh

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY
(Chapter I of the Patent Cooperation Treaty)

(PCT Rule 44*bis*)

Applicant's or agent's file reference 0000055285	**FOR FURTHER ACTION**	See item 4 below
International application No. PCT/EP2005/000379	International filing date *(day/month/year)* 15 January 2005 (15.01.2005)	Priority date *(day/month/year)* 27 January 2004 (27.01.2004)
International Patent Classification (8th edition unless older edition indicated) See relevant information in Form PCT/ISA/237		
Applicant BASF Aktiengesellschaft		

1. This international preliminary report on patentability (Chapter I) is issued by the International Bureau on behalf of the International Searching Authority under Rule 44 *bis*.1(a).

2. This REPORT consists of a total of 10 sheets, including this cover sheet.

   In the attached sheets, any reference to the written opinion of the International Searching Authority should be read as a reference to the international preliminary report on patentability (Chapter I) instead.

3. This report contains indications relating to the following items:

- [x] Box No. I — Basis of the report
- [ ] Box No. II — Priority
- [ ] Box No. III — Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- [ ] Box No. IV — Lack of unity of invention
- [x] Box No. V — Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- [ ] Box No. VI — Certain documents cited
- [ ] Box No. VII — Certain defects in the international application
- [ ] Box No. VIII — Certain observations on the international application

4. The International Bureau will communicate this report to designated Offices in accordance with Rules 44*bis*.3(c) and 93*bis*.1 but not, except where the applicant makes an express request under Article 23(2), before the expiration of 30 months from the priority date (Rule 44*bis* .2).

	Date of issuance of this report 03 October 2006 (03.10.2006)
The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland Facsimile No. +41 22 338 82 70	Authorized officer Yolaine Cussac e-mail: pt11@wipo.int

PATENT COOPERATION TREATY

TRANSLATION

From the
INTERNATIONAL SEARCHING AUTHORITY

To:

PCT

WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY

(PCT Rule 43*bis*.1)

Date of mailing *(day/month/year)*	See form PCT/ISA/210
Applicant's or agent's file reference 0000055285	FOR FURTHER ACTION See paragraph 2 below

International application No.	International filing date *(day/month/year)*	Priority date *(day/month/year)*
PCT/EP2005/000379	15.01.2005	27.01.2004

International Patent Classification (IPC) or both national classification and IPC
A01N43/90

Applicant
BASF Aktiengesellschaft

1. This opinion contains indications relating to the following items:

- [x] Box No. I Basis of the opinion
- [ ] Box No. II Priority
- [ ] Box No. III Non-establishment of opinion with regard to novelty. inventive step and industrial applicability
- [ ] Box No. IV Lack of unity of invention
- [x] Box No. V Reasoned statement under Rule 43*bis*.1(a)(i) with regard to novelty. inventive step or industrial applicability: citations and explanations supporting such statement
- [ ] Box No. VI Certain documents cited
- [ ] Box No. VII Certain defects in the international application
- [ ] Box No. VIII Certain observations on the international application

2. **FURTHER ACTION**

If a demand for international preliminary examination is made. this opinion will be considered to be a written opinion of the International Preliminary Examining Authority ("IPEA") except that this does not apply where the applicant chooses an Authority other than this one to be the IPEA and the chosen IPEA has notified the International Bureau under Rule 66.1*bis*(b) that written opinions of this International Searching Authority will not be so considered.

If this opinion is. as provided above. considered to be a written opinion of the IPEA. the applicant is invited to submit to the IPEA a written reply together. where appropriate. with amendments. before the expiration of 3 months from the date of mailing of Form PCT/ISA/220 or before the expiration of 22 months from the priority date. whichever expires later.

For further options. see Form PCT/ISA/220.

3. For further details. see notes to Form PCT/ISA/220.

Name and mailing address of the ISA/EP	Authorized officer
Facsimile No.	Telephone No.

WRITTEN OPINION OF THE INTERNATIONAL SEARCHING AUTHORITY

International application No.
PCT/EP2005/000379

Box No. I Basis of this opinion

1. With regard to the language, this opinion has been established on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ This opinion has been established on the basis of a translation from the original language into the following language _____, which is the language of a translation furnished for the purposes of international search (under Rule 12.3 and 23.1(b)).

2. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application and necessary to the claimed invention, this opinion has been established on the basis of:

a. type of material

☐ a sequence listing

☐ table(s) related to the sequence listing

b. format of material

☐ in written format

☐ in computer readable form

c. time of filing/furnishing

☐ contained in the international application as filed.

☐ filed together with the international application in computer readable form.

☐ furnished subsequently to this Authority for the purposes of search.

3. ☐ In addition, in the case that more than one version or copy of a sequence listing and/or table(s) relating thereto has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that in the application as filed or does not go beyond the application as filed, as appropriate, were furnished.

4. Additional comments:

WRITTEN OPINION OF THE INTERNATIONAL SEARCHING AUTHORITY

International application No.
PCT/EP2005/000379

Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Claims	1-10	YES
	Claims		NO
Inventive step (IS)	Claims	1-10	YES
	Claims		NO
Industrial applicability (IA)	Claims	1-10	YES
	Claims		NO

2. Citations and explanations:

Reference is made to the following prior art documents (D1-D7) cited in the international search report:

D1: EP-1-0 988 790
D2: WO 98/46607 A
D3: WO 03/073850 A
D4: US-B1-6 268 371
D5: US-A-5 593 996
D6: EP-A-0 193 922
D7: EP-A-0 737 421

Novelty

The subject matter of claims 1-10 is novel (PCT Article 33(1) and (2)).

Subject matter of independent claim 1 are fungicidal mixtures, in particular for the control of rice pathogens, containing tridemorph and a specific fungicidal triazolopyrimidine (hereinbelow referred to as TP1) in a synergistically active amount. Claim 3 relates to compositions which contain these mixtures in addition to a carrier. The remaining independent claims 4, 9 and 10 relate to a method of controlling harmful fungi by

means of such a mixture, to seed resulting from such a method which comprises such a mixture, and to the use of the two compounds for the preparation of compositions for controlling harmful fungi.

None of the cited prior art documents mentioned discloses the specific mixtures which are the subject matter of the present application.

D1 (see the passages cited in the international search report) discloses synergistic mixtures of triazolopyrimidines of general formula which also cover TP1 with 22 other fungicides or classes of fungicides, also including fenpropimorph, such as tridemorph, a cyclic amine which inhibits the biosynthesis of ergosterol, and ergosterol biosynthesis inhibitors with azole structure, such as, for example, propiconazole and metconazole, but not tridemorph itself. The preferred azolopyrimidines, which are used in examples, A, B and C in D1 (hereinbelow referred to as TPa, TPb and TPc, respectively) are the 6-(2-Cl-6-F-phenyl), the 7-(2,2,2-trifluoroethylamino) and the 7-(1,1,1-trifluoropropyl-2-ylamino) analogue of TP1.

D2 (see the passages cited in the international search report) discloses, *inter alia*, specifically the compound TP1 (exemplary compound 2). The compound is compared with TPa with regard to its activity against Powdery Mildew on grapevines and found to be superior. The possibility of a mixture with other fungicides, amongst which tridemorph is also mentioned, with the possibility of achieving a synergistic effect is mentioned, but not carried out.

Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

D3 (see the passages cited in the international search report) discloses synergistic mixtures of prothioconazole with tridemorph, fenpropimorph or fenpropidin.

D4 (see the passages cited in the international search report) discloses synergistic mixtures of triazolopyrimidines, which are known, *inter alia*, from D5, with melanin biosynthesis inhibitors such as carpropamide, pyroquilon and fenoxanil. These mixtures are particularly effective against rice pathogens (*Pyricularia oryzae*, *Rhizoctonia solani* and *Cochliobolus miyabeanus*, which causes brown spot disease of rice). The preferred triazolopyrimidines, which are referred to in D4 as azolopyrimidines A, C and D, are TPa, TPb and TPc, respectively.

D5 (see the passages cited in the international search report) discloses certain fungicidal triazolopyrimidines, including TPa. The activity against *Pyricularia oryzae* on rice is demonstrated (see D5, examples 225 and 226).

D6 (see the passages cited in the international search report) discloses synergistic mixtures of the alkylmorpholine fungicides tridemorph, fenpropimorph, dodemorph and aldimorph with acylalanin fungicides such as, for example, furalaxyl and benalaxyl, which are usually employed for controlling Oomycetes.

D7 finally (see the passages cited in the international search report) discloses synergistic fungicidal mixtures of certain strobilurins such as, for example,

dimoxystrobin and metominostrobin, with azoles such as, for example, propiconazole and metconazole, or cyclic amines such as, for example, tridemorph, fenpropimorph and fenpropidin.

Inventive step

The subject matter of claims 1-10 involves an inventive step (PCT Article 33(1) and (3)).

In the light of the description and the closest prior art of the cited prior art document D1, the problem addressed by the present application can therefore be considered that of providing synergistic mixtures of triazolopyrimidines with other fungicides, in particular those which are suitable for the control of rice pathogens, i.e. which combine a high degree of systemicity with a good efficacy against *Pyricularia oryzae* and *Rhizoctonia solani* and *Cochliobolus miyabeanus*.

The proposed solution is characterized by the use of the specific triazolopyrimidine TP1 in combination with the known fungicide tridemorph, a cyclic amine.

In the light of the above prior art, this combination is no obvious solution to the problem.

D1 discloses mixtures of triazolopyrimidines of a general formula which encompasses not only TPa, TPb and TPc, but also TP1, with other fungicides (see above). The synergistic mixtures are tested against a number of harmful fungi, such as species of the genera *Blumeria*,

Botrytis, *Septoria*, *Erysiphe* and *Puccinia*, but not against one of the typical rice pathogens. Also, the tests are carried out on a variety of crop plants such as wheat, barley, apples, cucumbers, tomatoes and grapevines, but not rice. The mixture of TPa and tridemorph (see D1, example 1), which is the most similar to the mixture proposed in the present application, is tested against leaf spot on wheat (*Leptosphaeria nodorum*, synonym: *Phaeosphaeria n.*, anamorph: *Septoria n./Stagonospora n.*).

D2 emphasizes that the 6-(2,4,6-trifluorophenyl)triazolo-pyrimidines (such as, for example, TP1) disclosed therein have an increased systemicity and fungitoxic activity against rice pathogens over the triazolopyrimidines disclosed in D5 (such as, for example, TPa and TPc) (see D2, page 7, lines 9-11). The good activity of, specifically, TP1 against *Pyricularia oryzae* (= *Pyricularia grisea f. sp. Oryzae*, teleomorph: *Magnaporthe gr. f. sp. oryzae*) and *Rhizoctonia solani* is demonstrated in examples (see D2, table II).
D2 also proposes a mixture with other fungicides, including tridemorph, which might conceivably lead to a synergistic effect (see the passages of the prior art document D2 cited in the search report).

D4 (see above) discloses synergistic mixtures of triazolopyrimidines, including TPa and TPc, with other fungicides which differ greatly from tridemorph, in particular for the control of rice pathogens.

The cited prior art document D5, too, discloses compounds

of a general formula which encompasses not only TPa, TPb and TPc, but also TP1, as being active against rice pathogens; for example, the activity of TPa (compound 139 in D5) against *Pyricularia oryzae* is demonstrated by way of example in that document (see example 226).

However, in order to arrive at the combination according to the invention, proceeding from D1, it is necessary specifically to replace one of the triazolopyrimidines which is preferred therein, for example TPc, by TP1, which is mentioned in D2 besides other triazolopyrimidines. Moreover, one would also have to exchange fenpropimorph, which is used in that document, for tridemorph.

In the light of the problem of providing in particular compositions for controlling rice pathogens, this choice is not obvious.

Tridemorph has not been described as being particularly effective against such pathogens. Thus, the phytopathogenic fungi for whose control these mixtures (see above) are particularly suitable, are mentioned in D3 in a list which, while it contains *Pyricularia oryzae*, *inter alia*, and is largely identical with the list of the present application (compare D3, page 5, line 36-page 6, line 14 with the description page 2, line 28-page 3, line 5, and page 3, lines 19, 20. It must furthermore be noted that *Cercospora arachidicola* is the anamorph of *Mycosphaerella arachides* and *Helminthosporium oryzae*, *Bipolaris oryzae* and *Drechslera oryzae* are all synonyms for the species *Cochliobolus miyabeanus*), it is

significant that the mixtures are only tested against *Erysiphe* (*Blumeria*) *graminis* and *Puccinia recondita* on wheat.

D6 (see the passages cited in the international search report) details that morpholine fungicides are employed for controlling powdery mildew, and the synergistic mixtures disclosed therein are, again, not tested against typical rice pathogens, but against *Phytophthora* and *Plasmopara*.

It is significant that the mixtures known from D7 too are only tested against rust and powdery mildew on wheat and cucumbers.

It could even less have been expected that the proposed mixtures would, as demonstrated in the application, show a synergistically increased effect against the rice pathogen *Cochliobolus miyabeanus*.

The proposed solution of combining the triazolopyrimidine TP1 with tridemorph is therefore not obvious.

Industrial applicability

The subject matter of claims 1-10 is considered to be industrially applicable (PCT Article 33(1) and (4)).